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DATE: Tuesday, July 20, 2004

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	DB=USPT,EPAB,JPAB,DWPI,TDBD; PLUR=YES; OP=OR		
☐	L3	(amlodipine adj2 base) same crystal$	11
☐	L2	L1 and tablet	23
☐	L1	amlodipine same crystal$	50

END OF SEARCH HISTORY

L2: Entry 3 of 23 File: USPT Jan 20, 2004

US-PAT-NO: 6680334
DOCUMENT-IDENTIFIER: US 6680334 B2

TITLE: Crystalline material

DATE-ISSUED: January 20, 2004

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Bentham; Alan Craig	Sandwich			GB
Pettman; Alan John	Sandwich			GB
Ruddock; Keith Stephen	Sandwich			GB

US-CL-CURRENT: 514/355; 546/321

CLAIMS:

What is claimed is:

1. A crystalline form of the free base of 2-[(2-aminoethoxy)]-methyl-4-(2-chlorophenyl)-3-ethoxycarbonyl-5-methoxyca rbonyl-6-methyl-1,4-dihydropyridine (amlodipine).

2. A method of treating ischaemic heart disease or hypertension in a human patient comprising administration of an effective amount of crystalline amlodipine free base.

3. A pharmaceutical composition comprising crystalline amlodipine free base and one or more pharmaceutically acceptable diluents or carriers and, optionally, one or more other physiologically active agents.

4. A process for the preparation of crystalline amlodipine free base comprising the steps of: (i) isolating amlodipine free base; and (ii) crystallising the material obtained in (i) using a suitable solvent or mixture of solvents.

5. A process according to claim 4 wherein said step (i) comprises: (a) contacting a salt form of amlodipine with an aqueous base; (b) partitioning an organic layer and an aqueous layer by contact with an organic solvent; and (c) separating and recovering said organic layer.

6. A process according to claim 5 wherein said salt form of amlodipine is amlodipine besylate; said aqueous base is aqueous sodium hydroxide; and said organic solvent is dichloromethane.

7. A process according to claim 4 wherein said step (ii) comprises steps of: (a) contacting said amlodipine free base in at least one crystallizing

solvent; and (b) recovering crystallized amlodipine free base.

8. A process according to claim 7 wherein said crystallizing solvent is isopropyl alcohol or toluene.

9. A pharmaceutical salt or solvate comprising a pharmaceutically acceptable acid addition salt of the crystalline form of the free base of claim 1.

10. A pharmaceutical salt or solvate according to claim 9 wherein the pharmaceutical acceptable acid addition salt is besylate salt.

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L2: Entry 3 of 23 File: USPT Jan 20, 2004

US-PAT-NO: 6680334
DOCUMENT-IDENTIFIER: US 6680334 B2

TITLE: Crystalline material

DATE-ISSUED: January 20, 2004

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Bentham; Alan Craig	Sandwich			GB
Pettman; Alan John	Sandwich			GB
Ruddock; Keith Stephen	Sandwich			GB

ASSIGNEE-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY	TYPE CODE
Pfizer Inc	New York	NY			02

APPL-NO: 10/ 224663 [PALM]
DATE FILED: August 20, 2002

PARENT-CASE:
This is a non-provisional application claiming priority from provisional application, Serial No. 60/327,155, filed Oct. 3, 2001.

FOREIGN-APPL-PRIORITY-DATA:

COUNTRY	APPL-NO	APPL-DATE
GB	0120808	August 28, 2001

INT-CL: [07] C07 D 207/40, A61 K 31/44

US-CL-ISSUED: 514/355; 546/321
US-CL-CURRENT: 514/355; 546/321

FIELD-OF-SEARCH: 514/355, 546/321

PRIOR-ART-DISCLOSED:

U.S. PATENT DOCUMENTS

	PAT-NO	ISSUE-DATE	PATENTEE-NAME	US-CL
☐	6057344	May 2000	Young	514/356

FOREIGN PATENT DOCUMENTS

FOREIGN-PAT-NO	PUBN-DATE	COUNTRY	US-CL
0089167	October 1986	EP	
0244944	January 1990	EP	
0566142	October 1993	EP	
0599220	August 1996	EP	
1013275	June 2000	EP	
0902016	May 2002	EP	
9925688	May 1999	WO	
9925689	May 1999	WO	
9952873	October 1999	WO	
0024714	May 2000	WO	
WO 00/73271	December 2000	WO	
0102360	January 2001	WO	

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ART-UNIT: 1625

PRIMARY-EXAMINER: Davis; Zinna Northington

ATTY-AGENT-FIRM: Butterfield; Garth Richardson; Peter C.

ABSTRACT:

The present invention relates to amlodipine free base in a crystalline form, to pharmaceutical formulations comprising such material, processes of manufacture and its use in therapy.

10 Claims, 1 Drawing figures

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L2: Entry 10 of 23 File: USPT Sep 17, 2002

US-PAT-NO: 6451826
DOCUMENT-IDENTIFIER: US 6451826 B2
**** See image for Certificate of Correction ****

TITLE: Optically pure (-) amlodipine compositions

DATE-ISSUED: September 17, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Young; James W.	Palo Alto	CA		

ASSIGNEE-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY	TYPE CODE
Sepracor Inc.	Marlborough	MA			02

APPL-NO: 09/ 915573 [PALM]
DATE FILED: July 27, 2001

PARENT-CASE:
This application is a continuation of application Ser. No. 09/523,733, filed Mar. 13, 2000, now U.S. Pat. No. 6,291,490, which is a continuation of application Ser. No. 08/334,771, filed Nov. 4, 1994, now U.S. Pat. No. 6,057,344, which is a continuation of application Ser. No. 07/981,562, filed Nov. 25, 1992, now abandoned, which is a continuation of application Ser. No. 07/798,466, filed Nov. 26, 1991, now abandoned.

INT-CL: [07] A61 K 31/44

US-CL-ISSUED: 514/356
US-CL-CURRENT: 514/356

FIELD-OF-SEARCH: 514/356

PRIOR-ART-DISCLOSED:

U.S. PATENT DOCUMENTS

	PAT-NO	ISSUE-DATE	PATENTEE-NAME	US-CL
☐	4572909	February 1986	Campbell et al.	514/356
☐	4806557	February 1989	Campbell et al.	514/356
☐	4879303	November 1989	Davison et al.	514/356

FOREIGN PATENT DOCUMENTS

FOREIGN-PAT-NO	PUBN-DATE	COUNTRY	US-CL
0 089 167	September 1983	EP	
0 331 315	September 1989	EP	
1-156959	June 1989	JP	

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Nayler, W.G. et al., "Vascular and myocardial effects of amlodipine: an overview," Postgraduate Medical Journal 67(S5):S41-S43, 1991.

ART-UNIT: 1614

PRIMARY-EXAMINER: Jarvis; William R. A.

ATTY-AGENT-FIRM: Pennie & Edmonds LLP

ABSTRACT:

Methods and compositions are disclosed utilizing the optically pure (-) isomer of amlodipine. This compound is a potent drug for the treatment of hypertension while avoiding the concomitant liability of adverse effects associated with the racemic mixture of amlodipine. The (-) isomer of amlodipine is also useful for the treatment of angina and such other conditions as may be related to the activity of (-) amlodipine as a calcium channel antagonist such as cerebral ischemia, cerebral disorders, arrhythmias, cardiac hypertrophy, coronary vasospasm, myocardial infarction, renal impairment and acute renal failure, without the concomitant liability of adverse effects associated with the racemic mixture of amlodipine.

8 Claims, 0 Drawing figures

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L2: Entry 10 of 23 File: USPT Sep 17, 2002

DOCUMENT-IDENTIFIER: US 6451826 B2
** See image for Certificate of Correction **
TITLE: Optically pure (-) amlodipine compositions

Brief Summary Text (53):
The racemic acid 1 is converted to its cinchonidine salts in methanol solution. Upon dilution with water and standing at room temperature, a crystalline precipitate is formed which can be subsequently recrystallized to content rotation to give the diastereomerically pure cinchonidine salt 2. Further, the mother liquids from the original capitalization can be reduced in volume and stirred at room temperature, e.g. overnight, to afford a fine precipitate which can also be recrystallized to give the diastereomerically pure cinchonidine salt 2. The cinchonidine salt 2 is partitioned between ethyl acetate and dilute hydrochloric acid to liberate the acid 3. The acid 3 is then esterified using carbonyldimidazole (CDI) in near-quantitative yield by forming an imidazolide and decomposing the imidazolide with ethanolic sodium ethoxide to give 4. The azido group in 4 can then be cleanly reduced to amino by catalytic hydrogenation, giving optically pure amlodipine, which is most conveniently isolated as the salt of an acid, e.g. as the maleate 5.

Brief Summary Text (56):
Any suitable route of administration may be employed for providing the patient with an effective dosage of (-) amlodipine. For example, oral, rectal, parenteral, transdermal, subcutaneous, intramuscular, and the like may be employed. Dosage forms include tablets, troches, dispersions, suspensions, solutions, capsules, patches, and the like.

Brief Summary Text (62):
In practical use, (-) amlodipine can be combined as the active ingredient in intimate admixture with a pharmaceutical carrier according to conventional pharmaceutical compounding techniques. The carrier may take a wide variety of forms depending on the form of the preparation desired for administration, e.g., oral or parenteral (including intravenous injections or infusions). In preparing the compositions for oral dosage form any of the usual pharmaceutical media may be employed. Usual pharmaceutical media include, for example, water, glycols, oils, alcohols, flavoring agents, preservatives, coloring agents, and the like in the case of oral liquid preparations (such as for example, suspensions, solutions, and elixirs); aerosols; or carriers such as starches, sugars, microcrystalline cellulose, diluents, granulating agents, lubricants, binders, disintegrating agents and the like, in the case of oral solid preparations (such as for example, powders, capsules, and tablets) with the oral solid preparations being preferred over the oral liquid preparations. The most preferred oral solid preparation is tablets.

Brief Summary Text (63):
Because of their ease of administration, tablets and capsules represent the most advantageous oral dosage unit form, in which case solid pharmaceutical carriers are employed. If desired, tablets may be coated by standard aqueous or nonaqueous techniques.

Brief Summary Text (65):

Pharmaceutical compositions of the present invention suitable for oral administration may be presented as discrete units such as capsules, cachets, or tablets, or aerosols sprays, each containing a predetermined amount of the active ingredient, as a powder or granules, or as a solution or a suspension in an aqueous liquid, a non-aqueous liquid, an oil-in-water emulsion, or a water-in-oil liquid emulsion. Such compositions may be prepared by any of the methods of pharmacy, but all methods include the step of bringing into association the active ingredient with the carrier which constitutes one or more necessary ingredients. In general, the compositions are prepared by uniformly and intimately admixing the active ingredient with liquid carriers or finely divided solid carriers or both, and then, if necessary, shaping the product into the desired presentation.

Brief Summary Text (66):
For example, a tablet may be prepared by compression or molding, optionally, with one or more accessory ingredients. Compressed tablets may be prepared by compressing in a suitable machine the active ingredient in a free-flowing form such as powder or granules, optionally mixed with a binder, lubricant, inert diluent, and/or surface active or dispersing agent. Molded tablets may be made by molding in a suitable machine a mixture of the powdered compound moistened with an inert liquid diluent. Desirably, each tablet contains from about 0.01 mg to about 50 mg of the active ingredient, and each cachet or capsule contains from about 0.5 mg to about 50 mg of the active ingredient, (-) amlodipine. Most preferably, the tablet, cachet or capsule contains either one of three dosages, 0.5 mg, 2.5 mg and 5.0 mg (as scored tablets, the preferable dose form) of the active ingredient.

Detailed Description Text (29):
The active ingredient, (-) Amlodipine, is sieved through a suitable sieve and blended with lactose, starch, and pregelatinized maize starch. Suitable volumes of purified water are added and the powders are granulated. After drying, the granules are screened and blended with the magnesium stearate. The granules are then compressed into tablets using 7 mm diameter of punches.

Detailed Description Text (30):
Tablets of other strangths may be prepared by altering the ratio of active ingredient to lactose or the compression weight and using punches to suit.

Detailed Description Paragraph Table (2):
ORAL FORMULATION Tablets: Quantity per capsule in Gm. Formula A B C Active ingredient, 0.5 2.5 5.0 (-) amlodipine lactose BP 183.0 181.0 178.5 starch BP 15.0 15.0 15.0 Pregelatinized Maize Starch 1.5 1.5 1.5 BP magnesium stearate Compression Weight 200.0 200.0 200.0

Detailed Description Paragraph Table (3):
ORAL FORMULATION Tablets Quantity per Tablet in Gm. Formula A B C Active ingredient, 0.5 2.5 5.0 (-) amlodipine lactose BP 183.0 181.0 178.5 starch BP 15.0 15.0 15.0 Pregelatinized Maize Starch 1.5 1.5 1.5 BP magnesium stearate Compression Weight 200.0 200.0 200.0

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L2: Entry 12 of 23 File: USPT Dec 25, 2001

DOCUMENT-IDENTIFIER: US 6333342 B1
TITLE: Methods of pharmacological treatment using S(-) amlodipine

Brief Summary Text (2):
Pharmacological therapy utilizing pure formulations of S(-) amlodipine results in effective theraputic results while avoiding toxicities and adverse effects of racemic amlodipine. The methods and compositions described include the enriched deuterated forms of amlodipine as well as the nonenriched form. Amlodipine and deuteroamlodipine have a chiral center at C4 in the dihydropyridine ring, and thus can exist as optical isomers. The isomers may be separated by various methods, for example selective crystallization and column chromatography. See for example T. Shibanuma, et al., Chem. Pharm. Bull., 28, 2809-2812 (1980). Alternatively, S(-) amlodipine may be prepared using optically active reactants, or by a combination of separation and chiral synthesis. Optical isomers of compounds are specified (+) or (-), indicating the direction the chiral center rotates a plane of polarized light.

Brief Summary Text (72):
Optically pure S(-) amlodipine can be prepared in a number of ways. Among these methods, the resolution of a racemic mixture of amlodipine or its precursors and the asymmetric synthesis of amlodipine or precursors thereof are particularly useful. Resolution of a racemic mixture by fractional crystallization of diastereomeric derivatives or salts is perhaps the most straightforward method for obtaining optically pure S(-) amlodipine.

Brief Summary Text (73):
Optically active resolving agents are employed in the resolution of these racemic mixtures of the amlodipine enantiomers which are obtained following synthetic procedures known in the art (See, for example, U.S. Pat. No. 3.799,934.). The resolution of racemates by fractional crystallization of diastereomeric salts formed with such resolving agents is perhaps the most commonly used conventional technique for producing optically pure compounds. See, for example, "Stereochemistry of Carbon Compounds," E. L. Eliel (McGraw-Hill, NY, 1986) and "S. H. Wilen, p. 268, in "Tables of Resolving Agents and Optical Resolutions," E. L. Eliel, Ed., Univ. of Notre Dame Press, Notre Dame, Ind., 1972.

Brief Summary Text (74):
Amlodipine is a basic compound and therefore diastereomeric salts suitable for separation by fractional crystallization are readily formed by the addition of chiral acid resolving agents in optically pure form to racemic amlodipine. Suitable resolving agents for use here include optically pure tartaric acid and its derivatives, camphorsulfonic acid, mandelic acid and derivatives thereof, and other optically active acids. The desired S(-) amlodipine isomer may be recovered either from the crystallized diastereomer or from the mother liquor, depending on the solubility properties of the particular acid resolving agent employed and depending on the particular acid enantiomer used. The identity of the S(-) amlodipine isomer so obtained may be confirmed by polarimetry and other analytical methods.

Brief Summary Text (75):
A particular preferred means of obtaining S(-) amlodipine is based on the

fractional crystallization of diastereomeric mixtures formed by basic resolving agents and racemic carboxylic-acid-containing precursors of amlodipine. See, for example, T. Shibanuma et al., Chem. Pharm. Bull. 28(9): 2809-2812 (1980) (who resolved the structurally related dihydropyridine nicardipine) and M. Eltze et al., Chirality 2: 233-240 (1990) and references cited therein. In particular, S(-) amlodipine is obtained by means of resolution of the corresponding racemic 4-aryl-1-ethoxymethyl-1,4-dihydro-5-methoxycarbonyl-2,6-dimethylpyridine-3 -carboxylic acids by means of crystallization of the diastereomeric salts formed upon addition of basic resolving agents to the racemic precursor-followed by subsequent alkylation and esterification as described in International Patent Applications WO 88/07524 and WO 88/07525, Byk Gulden, 1988. Optically pure cinchonine and cinchonidine salts are basic resolving agents that have proven useful in the resolution of the dihydropyridines including amlodipine.

Brief Summary Text (76):
The chemical synthesis of the racemic mixture of amlodipine can be performed by the method described in U.S. Pat. No. 4,572,909 and 5,438,145 as well as by other means known to those skilled in the art. The racemic acid ester is converted to its cinchonidine salt in methanol solution. Upon dilution with water and standing at room temperature, a crystalline precipitate is formed which can be subsequently recrystallized to constant rotation to give the diastereomerically pure cinchonidine salt. Further, the mother liquids from the original crystallization can be reduced in volume and stirred at room temperature, e.g., overnight, to afford a fine precipitate which can also be recrystallized to give the diastereomerically pure cinchonidine salt. The cinchonidine salt is partitioned between ethyl acetate and dilute hydrochloric acid to liberate the enantiomerically pure acid. The acid is then esterified using carbonyldiimidazole (CDI) and ethanolic sodium ethoxide, yielding S(-) amlodipine.

Brief Summary Text (79):
Any suitable route of administration may be employed for providing the patient with an effective dosage of (-) amlodipine. For example, oral, rectal, parenteral, ocular, subcutaneous, intravenous, intramuscular, transdermal, and the like may be employed. Dosage forms include tablets, troches, dispersions, suspensions, solutions, capsules, patches, and the like.

Brief Summary Text (81):
Useful pharmaceutical carriers for the preparation of the pharamaceutical compositions hereof can be solids or liquids. Thus, the compositions can take the form of tablets, pills, capsules, powders, sustained release formulations solutions, suspensions, elixirs, aerosols, and the like. Carriers can be selected from the various oils, including those of petroleum, animal, vegetable or synthetic origin, for example, peanut oil, soybean oil, mineral oil, sesame oil, and the like. Water, saline, aqueous dextrose, and glycols are preferred liquid carriers, particularly for injectable solutions. Suitable pharmaceutical excipients include starch, cellulose, talc, glucose, lactose, sucrose, gelatin, malt, rice, flour, chalk, silica gel, magnesium stearate, sodium stearate, glycerol monostearate, sodium chloride, dried skim milk, glycerol, propylene glycol, water, ethanol, and the like. Other suitable pharmaceutical carriers and their formulations are described in "Remington's Pharmaceutical Sciences" by E. W. Martin.

Brief Summary Text (82):
In the practice of the above described method of the present invention a therapeutically effective amount of the S(-) amlodipine or a pharmaceutical composition containing same is administered via any of the usual and acceptable methods known in the art, either singly or in combination with other pharmaceutical agents. These compounds or compositions can thus be administered orally, systemically (e.g., transdermally, intranasally or by suppository) or parenterally (e.g., intramuscularly, subcutaneously and intravenously), and can be administered either in the form of solid or liquid dosages including tablets, solutions,

suspensions, aerosols, and the like, as discussed in more detail above. It is preferred to administer S(-) amlodipine orally.

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L2: Entry 15 of 23 File: USPT May 2, 2000

US-PAT-NO: 6057344
DOCUMENT-IDENTIFIER: US 6057344 A
** See image for Certificate of Correction **

TITLE: Methods for treating hypertension, and angina using optically pure (-) amlodipine

DATE-ISSUED: May 2, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Young; James W.	Palo Alto	CA		

US-CL-CURRENT: 514/356

CLAIMS:

What is claimed is:

1. A method of eliciting an antihypertensive effect in a human, which comprises administering to a human in need thereof a therapeutically effective amount of (-) amlodipine, or a pharmaceutically acceptable salt thereof, substantially free of its (+) stereoisomer, said amount being sufficient to alleviate hypertension.

2. The method of claim 1 wherein (-) amlodipine is administered by intravenous infusion, by transdermal delivery, or orally as a tablet or a capsule.

3. The method of claim 2 wherein the amount administered is from about 0.01 mg to about 100.0 mg daily.

4. The method of claim 3 wherein the amount administered is from about 0.5 mg to about 20 mg.

5. The method of claim 4 wherein the amount administered is from about 0.5 mg to about 10.0 mg.

6. The method of claim 1 wherein the amount of (-) amlodipine or a pharmaceutically acceptable salt thereof is greater than approximately 90% by weight of the total amount of amlodipine.

7. The method of claim 1 wherein the amount of (-) amlodipine or a pharmaceutically acceptable salt thereof, substantially free of its (+) stereoisomer, is administered together with a pharmaceutically acceptable carrier.

8. The method according to claims 2, 3, 4, 5, or 6, wherein (-) amlodipine is

administered as its besylate salt.

9. A method of treating angina in a human, which comprises administering to a human in need thereof a therapeutically effective amount of (-) amlodipine, or a pharmaceutically acceptable salt thereof, substantially free of its (+) stereoisomer, said amount being sufficient to alleviate angina.

10. The method of claim 9 wherein (-) amlodipine is administered by intravenous infusion, by transdermal delivery, or orally as a tablet or a capsule.

11. The method of claim 10 wherein the amount administered is from about 0.01 mg to about 100.0 mg.

12. The method of claim 11 wherein the amount administered is from about 0.5 mg to about 20.0 mg.

13. The method of claim 12 wherein the amount administered is from about 0.5 mg to about 10.0 mg.

14. The method of claim 9 wherein the amount of (-) amlodipine or a pharmaceutically acceptable salt thereof is greater than approximately 90% by weight of the total amount of amlodipine.

15. The method of claim 9 wherein the amount of (-) amlodipine or a pharmaceutically acceptable salt thereof, substantially free of its (+) stereoisomer, is administered together with a pharmaceutically acceptable carrier.

16. The method according to claims 10, 11, 12, 13 or 14 wherein (-) amlodipine besylate is administered.

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L2: Entry 15 of 23 File: USPT May 2, 2000

US-PAT-NO: 6057344
DOCUMENT-IDENTIFIER: US 6057344 A
** See image for Certificate of Correction **

TITLE: Methods for treating hypertension, and angina using optically pure (-) amlodipine

DATE-ISSUED: May 2, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Young; James W.	Palo Alto	CA		

ASSIGNEE-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY	TYPE CODE
Sepracor, Inc.	Marlborough	MA			02

APPL-NO: 08/ 334771 [PALM]
DATE FILED: November 4, 1994

PARENT-CASE:
This is a continuation of application Ser. No. 07/981,562 filed Nov. 25, 1992, now abandoned, which is a continuation-in-part of application Ser. No. 07/798,466 filed Nov. 26, 1991, now abandoned, each of which is incorporated by reference herein in its entirety.

INT-CL: [07] A61 K 31/44

US-CL-ISSUED: 514/356
US-CL-CURRENT: 514/356

FIELD-OF-SEARCH: 514/356

PRIOR-ART-DISCLOSED:

U.S. PATENT DOCUMENTS

	PAT-NO	ISSUE-DATE	PATENTEE-NAME	US-CL
☐	4572909	February 1986	Campbell et al.	514/356
☐	4806557	February 1989	Campbell et al.	514/356
☐	4879303	November 1989	Davison et al.	514/356

FOREIGN PATENT DOCUMENTS

FOREIGN-PAT-NO	PUBN-DATE	COUNTRY	US-CL
0 089 167 A2	September 1983	EP	
0 331 315 A2	September 1989	EP	
1-156959	June 1989	JP	

OTHER PUBLICATIONS

Goldmann, S. et al., "Determination of the Absolute Configuration of the Active Amlodipine Enantiomer as (-)-S: A Correction", Journal of Medicinal Chemistry 35 (18):3341-3344 (1992).
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Single Enantiomer Administration," Journal of Chromatography B, 703:185-193 (1997).

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ART-UNIT: 164

PRIMARY-EXAMINER: Jarvis; William R. A.

ATTY-AGENT-FIRM: Pennie & Edmonds LLP

ABSTRACT:

Methods are disclosed utilizing the optically pure (-) isomer of amlodipine. This compound is a potent drug for the treatment of hypertension while avoiding the concomitant liability of adverse effects associated with the racemic mixture of amlodipine. The (-) isomer of amlodipine is also useful for the treatment of angina without the concomitant liability of adverse effects associated with the racemic mixture of amlodipine.

16 Claims, 0 Drawing figures

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Search Results - Record(s) 1 through 23 of 23 returned.

☐ 1. Document ID: US 6756390 B2

Using default format because multiple data bases are involved.

L2: Entry 1 of 23 File: USPT Jun 29, 2004

US-PAT-NO: 6756390
DOCUMENT-IDENTIFIER: US 6756390 B2

TITLE: Organic acid salt of amlodipine

DATE-ISSUED: June 29, 2004

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Cho; Seong Hwan	Suwon-si			KR
Youn; Yong Sik	Yongin			KR
Jung; Yun Taek	Seoul			KR
Park; Choong Sil	Icheon-si			KR
Lee; Hyuk Koo	Yongin-si			KR
Lee; Kwang Hyeg	Seongnam-si			KR
Jeong; Eun Ju	Chungcheongbuk-do			KR
Kim; Young Hoon	Seoul			KR
Jin; Hae Tak	Yongin-si			KR
Cheon; Jun Hee	Suwon-si			KR
Lee; Sung Hak	Yongin-si			KR
Jung; Sung Hak	Seoul			KR
Lim; Dong Kwon	Seongnam-si			KR
Yeon; Kyu Jeong	Yongin-si			KR
Kim; Yun Cheul	Seoul			KR
Park; Kyung Mi	Seoul			KR
Kang; Hyun Suk	Seoul			KR

US-CL-CURRENT: 514/336; 546/284.4

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 2. Document ID: US 6753338 B2

L2: Entry 2 of 23 File: USPT Jun 22, 2004

US-PAT-NO: 6753338

DOCUMENT-IDENTIFIER: US 6753338 B2

TITLE: Methods for treating hypertension, angina, and congestive heart failure using of optically pure (-) amlodipine

DATE-ISSUED: June 22, 2004

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Young; James W.	Palo Alto	CA		

US-CL-CURRENT: 514/356; 424/408, 424/451, 514/343, 514/866, 514/929, 564/302

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 3. Document ID: US 6680334 B2

L2: Entry 3 of 23 File: USPT Jan 20, 2004

US-PAT-NO: 6680334
DOCUMENT-IDENTIFIER: US 6680334 B2

TITLE: Crystalline material

DATE-ISSUED: January 20, 2004

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Bentham; Alan Craig	Sandwich			GB
Pettman; Alan John	Sandwich			GB
Ruddock; Keith Stephen	Sandwich			GB

US-CL-CURRENT: 514/355; 546/321

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 4. Document ID: US 6653481 B2

L2: Entry 4 of 23 File: USPT Nov 25, 2003

US-PAT-NO: 6653481
DOCUMENT-IDENTIFIER: US 6653481 B2

TITLE: Process for making amlodipine

DATE-ISSUED: November 25, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Peters; Theodorus H. A.	Arnhem			NL

Benneker; Franciscus B. G.	Rheden	NL
Slanina; Pavel	Lelekovice	CZ
Bartl; Jiri	Strelice	CZ

US-CL-CURRENT: 546/277.4

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 5. Document ID: US 6600047 B2

L2: Entry 5 of 23 File: USPT Jul 29, 2003

US-PAT-NO: 6600047
DOCUMENT-IDENTIFIER: US 6600047 B2

TITLE: Process for making amlodipine maleate

DATE-ISSUED: July 29, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Benneker; Franciscus B. G.	Rheden			NL
Slanina; Pavel	Lelekovice			CZ
Picha; Frantisek	Brno			CZ

US-CL-CURRENT: 546/321

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 6. Document ID: US 6596874 B1

L2: Entry 6 of 23 File: USPT Jul 22, 2003

US-PAT-NO: 6596874
DOCUMENT-IDENTIFIER: US 6596874 B1

TITLE: Process for preparing amlodipine benzenesulphonate

DATE-ISSUED: July 22, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Fischer; Janos	Budapest			HU
Szoke; Katalin	Budapest			HU
Dobay; Laszlo	Budapest			HU
Leval; Sandor	Biatorbagy			HU

US-CL-CURRENT: 546/321; 546/316, 546/322

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 7. Document ID: US 6538012 B2

L2: Entry 7 of 23 File: USPT Mar 25, 2003

US-PAT-NO: 6538012
DOCUMENT-IDENTIFIER: US 6538012 B2

TITLE: Amlodipine hemimaleate

DATE-ISSUED: March 25, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ettema; Gerrit J. B.	Nijmegen			NL

US-CL-CURRENT: 514/356; 546/321

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 8. Document ID: US 6518288 B2

L2: Entry 8 of 23 File: USPT Feb 11, 2003

US-PAT-NO: 6518288
DOCUMENT-IDENTIFIER: US 6518288 B2

TITLE: Amlodipine fumarate

DATE-ISSUED: February 11, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Lemmens; Jacobus M.	Mook			NL
Peters; Theodorus H. A.	Arnhem			NL
Benneker; Franciscus B. G.	Rheden			NL
Picha; Frantisek	Brno			CZ

US-CL-CURRENT: 514/356; 546/321

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 9. Document ID: US 6476058 B2

L2: Entry 9 of 23 File: USPT Nov 5, 2002

US-PAT-NO: 6476058
DOCUMENT-IDENTIFIER: US 6476058 B2

** See image for Certificate of Correction **

TITLE: Methods of pharmacological treatment using S(-) amlodipine

DATE-ISSUED: November 5, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Foster; Robert T.	Edmonton			CA

US-CL-CURRENT: 514/356; 546/321

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 10. Document ID: US 6451826 B2

L2: Entry 10 of 23 File: USPT Sep 17, 2002

US-PAT-NO: 6451826
DOCUMENT-IDENTIFIER: US 6451826 B2
** See image for Certificate of Correction **

TITLE: Optically pure (-) amlodipine compositions

DATE-ISSUED: September 17, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Young; James W.	Palo Alto	CA		

US-CL-CURRENT: 514/356

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 11. Document ID: US 6448275 B2

L2: Entry 11 of 23 File: USPT Sep 10, 2002

US-PAT-NO: 6448275
DOCUMENT-IDENTIFIER: US 6448275 B2
** See image for Certificate of Correction **

TITLE: Methods for treating hypertension and angina using salts of optically pure (-) amplodipine

DATE-ISSUED: September 10, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Young; James W.	Palo Alto	CA		

US-CL-CURRENT: 514/356

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw De

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☐ 12. Document ID: US 6333342 B1

L2: Entry 12 of 23 File: USPT Dec 25, 2001

US-PAT-NO: 6333342
DOCUMENT-IDENTIFIER: US 6333342 B1

TITLE: Methods of pharmacological treatment using S(-) amlodipine

DATE-ISSUED: December 25, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Foster; Robert T.	Edmonton			CA

US-CL-CURRENT: 514/356; 546/321

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw De

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☐ 13. Document ID: US 6291490 B1

L2: Entry 13 of 23 File: USPT Sep 18, 2001

US-PAT-NO: 6291490
DOCUMENT-IDENTIFIER: US 6291490 B1
** See image for Certificate of Correction **

TITLE: Methods and compositions for treating conditions caused by excessive calcium influx in cells using optically pure (-) amlodipine

DATE-ISSUED: September 18, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Young; James W.	Palo Alto	CA		

US-CL-CURRENT: 514/356

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw De

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☐ 14. Document ID: US 6262092 B1

L2: Entry 14 of 23 File: USPT Jul 17, 2001

US-PAT-NO: 6262092
DOCUMENT-IDENTIFIER: US 6262092 B1

** See image for Certificate of Correction **

TITLE: Mutual salt of amlodipine and atorvastatin

DATE-ISSUED: July 17, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Chang; George	Ivoryton	CT		
Hamanaka; Ernest S.	Gales Ferry	CT		

US-CL-CURRENT: 514/356; 514/824, 546/321

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 15. Document ID: US 6057344 A

L2: Entry 15 of 23 File: USPT May 2, 2000

US-PAT-NO: 6057344
DOCUMENT-IDENTIFIER: US 6057344 A
** See image for Certificate of Correction **

TITLE: Methods for treating hypertension, and angina using optically pure (-) amlodipine

DATE-ISSUED: May 2, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Young; James W.	Palo Alto	CA		

US-CL-CURRENT: 514/356

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 16. Document ID: US 5519012 A

L2: Entry 16 of 23 File: USPT May 21, 1996

US-PAT-NO: 5519012
DOCUMENT-IDENTIFIER: US 5519012 A

TITLE: Inclusion complexes of optically active 1,4-dihydropyridines with methyl-.beta.-cyclodextrin

DATE-ISSUED: May 21, 1996

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY

Fercej-Temeljotov; Darja	Ljubljana	SI
Zmitek; Janko	Ljubljana	SI
Husu-Kovacevic; Breda	Ljubljana	SI
Kotnik; Sonja	Ljubljana-Crnuce	SI
Jerala-Strukelj; Zdenka	Mavcice	SI

US-CL-CURRENT: 514/58; 514/356, 514/778, 536/103, 546/321

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 17. Document ID: US 5439687 A

L2: Entry 17 of 23 File: USPT Aug 8, 1995

US-PAT-NO: 5439687
DOCUMENT-IDENTIFIER: US 5439687 A

TITLE: Dosage forms having zero-order dihydropyridine calcium antagonist release

DATE-ISSUED: August 8, 1995

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Compassi; Sabine	Stansstad			CH

US-CL-CURRENT: 424/468; 424/456, 424/457, 424/465, 424/480, 424/482, 424/488

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 18. Document ID: WO 2053135 A1

L2: Entry 18 of 23 File: EPAB Jul 11, 2002

PUB-NO: WO002053135A1
DOCUMENT-IDENTIFIER: WO 2053135 A1
TITLE: AMLODIPINE FREE BASE

PUBN-DATE: July 11, 2002

INVENTOR-INFORMATION:

NAME	COUNTRY
PETERS, THEODORUS HENDRICUS ANT	NL
BENNEKER, FRANCISCUS BERNARDUS	NL
LEMMENS, JACOBUS MARIA	NL
KELTJENS, ROLF	NL

INT-CL (IPC): A61 K 9/20; A61 K 9/48; A61 K 31/44
EUR-CL (EPC): A61K031/44; C07D209/48

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 19. Document ID: WO 2003101965 A1

L2: Entry 19 of 23 File: DWPI Dec 11, 2003

DERWENT-ACC-NO: 2004-053419
DERWENT-WEEK: 200405
COPYRIGHT 2004 DERWENT INFORMATION LTD
TITLE: New crystalline amlodipine benzenesulfonate dihydrates are anti-ischemic and antihypertensive agents useful for the treatment of cardiac diseases and hypertension

INVENTOR: COPAR, A; FURLAN, B ; HAM, Z ; URLEB, U

PRIORITY-DATA: 2002SI-0000141 (May 31, 2002)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
WO 2003101965 A1	December 11, 2003	E	034	C07D211/90

INT-CL (IPC): C07 D 211/90

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 20. Document ID: US 20030130321 A1, WO 2003035623 A1

L2: Entry 20 of 23 File: DWPI Jul 10, 2003

DERWENT-ACC-NO: 2003-482022
DERWENT-WEEK: 200347
COPYRIGHT 2004 DERWENT INFORMATION LTD
TITLE: Optically enriching racemic amlodipine for treating hypertension involves precipitating amlodipine hemitartrate dimethylacetamide monosolvate from solution comprising amlodipine, dimethylacetamide and D- or L-tartaric acid

INVENTOR: BAKALE, R P; SENANAYAKE, C H ; TANOURY, G J ; WILKINSON, H S ; ZLOTA, A A

PRIORITY-DATA: 2001US-346250P (October 24, 2001), 2002US-0325686 (December 20, 2002)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
US 20030130321 A1	July 10, 2003		000	C07D213/46
WO 2003035623 A1	May 1, 2003	E	010	C07D211/90

INT-CL (IPC): A01 N 43/40; C07 D 211/82; C07 D 211/90; C07 D 213/46

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 21. Document ID: FI 200200249 A, ZA 200201080 A

L2: Entry 21 of 23 File: DWPI Aug 8, 2003

DERWENT-ACC-NO: 2003-608502
DERWENT-WEEK: 200367
COPYRIGHT 2004 DERWENT INFORMATION LTD
TITLE: New crystalline amlodipine free base of form II, used to treat e.g. hypertension, is prepared by deprotecting N-protected amlodipine, precipitating free base from solution and isolating precipitate in solid form

INVENTOR: BENNEKER, F B G; KELTJENS, R ; LEMMENS, J M ; PETERS, T H A

PRIORITY-DATA: 2002ZA-0001080 (February 7, 2002), 2002FI-0000249 (February 7, 2002)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
FI 200200249 A	August 8, 2003		000	A61K000/00
ZA 200201080 A	November 27, 2002		035	A61K000/00

INT-CL (IPC): A61 K 0/00

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 22. Document ID: DE 20201878 U1

L2: Entry 22 of 23 File: DWPI Jul 11, 2002

DERWENT-ACC-NO: 2002-549968
DERWENT-WEEK: 200259
COPYRIGHT 2004 DERWENT INFORMATION LTD
TITLE: Tablet composition containing known and new forms of amlodipine base, useful for the treatment of e.g. hypertension, heart failure and angina

PRIORITY-DATA: 2002DE-2001878 (February 7, 2002)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
DE 20201878 U1	July 11, 2002		041	C07D491/12

INT-CL (IPC): C07 D 209/48; C07 D 211/82; C07 D 491/12

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 23. Document ID: HU 222252 B1, CA 2086989 A, FI 9300657 A, NO 9300543 A, JP 06001716 A, CZ 9300081 A3, HU 64694 T, SK 9300097 A3, US 5439687 A, IL 104192 A, NO 302216 B1, MX 184571 B, CZ 285177 B6, RU 2122413 C1

L2: Entry 23 of 23 File: DWPI May 28, 2003

DERWENT-ACC-NO: 1993-352327

DERWENT-WEEK: 200341
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TITLE: Delayed release dosage form maintaining effective plasma level over 24 hrs. - by once-daily admin. of homogeneous matrix comprising sparingly water-soluble di:hydro-pyridine type calcium antagonist, hydroxypropyl-methyl cellulose etc.

INVENTOR: COMPASSI, S

PRIORITY-DATA: 1992CH-0000464 (February 17, 1992)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
HU 222252 B1	May 28, 2003		000	A61K031/44
CA 2086989 A	August 18, 1993		024	A61K031/44
FI 9300657 A	August 18, 1993		000	A61K031/44
NO 9300543 A	August 18, 1993		000	A61K031/44
JP 06001716 A	January 11, 1994		015	A61K009/22
CZ 9300081 A3	January 19, 1994		000	A61K009/22
HU 64694 T	February 28, 1994		000	A61K031/44
SK 9300097 A3	September 9, 1993		000	C07D233/68
US 5439687 A	August 8, 1995		012	A61K009/22
IL 104192 A	January 4, 1998		000	A61K009/22
NO 302216 B1	February 9, 1998		000	A61K031/44
MX 184571 B	April 30, 1997		000	A61K031/044
CZ 285177 B6	June 16, 1999		000	A61K031/44
RU 2122413 C1	November 27, 1998		000	A61K031/715

INT-CL (IPC): A61K 9/020; A61K 9/022; A61K 9/036; A61K 9/052; A61K 9/16; A61K 9/20; A61K 9/22; A61K 9/26; A61K 9/36; A61K 9/52; A61K 31/044; A61K 31/415; A61K 31/44; A61K 31/715; A61K 47/38; A61P 9/00; C07D 233/64; C07D 233/68; A61K 31/715; A61K 31/44

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L3: Entry 1 of 11 File: USPT Jan 20, 2004

US-PAT-NO: 6680334
DOCUMENT-IDENTIFIER: US 6680334 B2

TITLE: Crystalline material

DATE-ISSUED: January 20, 2004

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Bentham; Alan Craig	Sandwich			GB
Pettman; Alan John	Sandwich			GB
Ruddock; Keith Stephen	Sandwich			GB

US-CL-CURRENT: 514/355; 546/321

CLAIMS:

What is claimed is:

1. A crystalline form of the free base of 2-[(2-aminoethoxy)]-methyl-4-(2-chlorophenyl)-3-ethoxycarbonyl-5-methoxyca rbonyl-6-methyl-1,4-dihydropyridine (amlodipine).

2. A method of treating ischaemic heart disease or hypertension in a human patient comprising administration of an effective amount of crystalline amlodipine free base.

3. A pharmaceutical composition comprising crystalline amlodipine free base and one or more pharmaceutically acceptable diluents or carriers and, optionally, one or more other physiologically active agents.

4. A process for the preparation of crystalline amlodipine free base comprising the steps of: (i) isolating amlodipine free base; and (ii) crystallising the material obtained in (i) using a suitable solvent or mixture of solvents.

5. A process according to claim 4 wherein said step (i) comprises: (a) contacting a salt form of amlodipine with an aqueous base; (b) partitioning an organic layer and an aqueous layer by contact with an organic solvent; and (c) separating and recovering said organic layer.

6. A process according to claim 5 wherein said salt form of amlodipine is amlodipine besylate; said aqueous base is aqueous sodium hydroxide; and said organic solvent is dichloromethane.

7. A process according to claim 4 wherein said step (ii) comprises steps of: (a) contacting said amlodipine free base in at least one crystallizing

solvent; and (b) recovering crystallized amlodipine free base.

8. A process according to claim 7 wherein said crystallizing solvent is isopropyl alcohol or toluene.

9. A pharmaceutical salt or solvate comprising a pharmaceutically acceptable acid addition salt of the crystalline form of the free base of claim 1.

10. A pharmaceutical salt or solvate according to claim 9 wherein the pharmaceutical acceptable acid addition salt is besylate salt.

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Search Results - Record(s) 1 through 11 of 11 returned.

☐ 1. Document ID: US 6680334 B2

Using default format because multiple data bases are involved.

L3: Entry 1 of 11 File: USPT Jan 20, 2004

US-PAT-NO: 6680334
DOCUMENT-IDENTIFIER: US 6680334 B2

TITLE: Crystalline material

DATE-ISSUED: January 20, 2004

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Bentham; Alan Craig	Sandwich			GB
Pettman; Alan John	Sandwich			GB
Ruddock; Keith Stephen	Sandwich			GB

US-CL-CURRENT: 514/355; 546/321

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 2. Document ID: US 6653481 B2

L3: Entry 2 of 11 File: USPT Nov 25, 2003

US-PAT-NO: 6653481
DOCUMENT-IDENTIFIER: US 6653481 B2

TITLE: Process for making amlodipine

DATE-ISSUED: November 25, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Peters; Theodorus H. A.	Arnhem			NL
Benneker; Franciscus B. G.	Rheden			NL
Slanina; Pavel	Lelekovice			CZ
Bartl; Jiri	Strelice			CZ

US-CL-CURRENT: 546/277.4

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 3. Document ID: US 6600047 B2

L3: Entry 3 of 11 File: USPT Jul 29, 2003

US-PAT-NO: 6600047
DOCUMENT-IDENTIFIER: US 6600047 B2

TITLE: Process for making amlodipine maleate

DATE-ISSUED: July 29, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Benneker; Franciscus B. G.	Rheden			NL
Slanina; Pavel	Lelekovice			CZ
Picha; Frantisek	Brno			CZ

US-CL-CURRENT: 546/321

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 4. Document ID: US 6596874 B1

L3: Entry 4 of 11 File: USPT Jul 22, 2003

US-PAT-NO: 6596874
DOCUMENT-IDENTIFIER: US 6596874 B1

TITLE: Process for preparing amlodipine benzenesulphonate

DATE-ISSUED: July 22, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Fischer; Janos	Budapest			HU
Szoke; Katalin	Budapest			HU
Dobay; Laszlo	Budapest			HU
Leval; Sandor	Biatorbagy			HU

US-CL-CURRENT: 546/321; 546/316, 546/322

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 5. Document ID: US 6538012 B2

L3: Entry 5 of 11 File: USPT Mar 25, 2003

US-PAT-NO: 6538012
DOCUMENT-IDENTIFIER: US 6538012 B2

TITLE: Amlodipine hemimaleate

DATE-ISSUED: March 25, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ettema; Gerrit J. B.	Nijmegen			NL

US-CL-CURRENT: 514/356; 546/321

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 6. Document ID: US 6518288 B2

L3: Entry 6 of 11 File: USPT Feb 11, 2003

US-PAT-NO: 6518288
DOCUMENT-IDENTIFIER: US 6518288 B2

TITLE: Amlodipine fumarate

DATE-ISSUED: February 11, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Lemmens; Jacobus M.	Mook			NL
Peters; Theodorus H. A.	Arnhem			NL
Benneker; Franciscus B. G.	Rheden			NL
Picha; Frantisek	Brno			CZ

US-CL-CURRENT: 514/356; 546/321

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 7. Document ID: EP 1287826 A1

L3: Entry 7 of 11 File: EPAB Mar 5, 2003

PUB-NO: EP001287826A1
DOCUMENT-IDENTIFIER: EP 1287826 A1
TITLE: A crystalline form of the free base of Amlodipine

PUBN-DATE: March 5, 2003

INVENTOR-INFORMATION:

NAME	COUNTRY
BENTHAM, ALAN CRAIG	GB

PETTMAN, ALAN JOHN GB
RUDDOCK, KEITH STEPHEN GB

INT-CL (IPC): A61 K 31/4422; C07 D 211/90; A61 P 9/00
EUR-CL (EPC): C07D211/90

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw. D

☐ 8. Document ID: WO 2053135 A1

L3: Entry 8 of 11 File: EPAB Jul 11, 2002

PUB-NO: WO002053135A1
DOCUMENT-IDENTIFIER: WO 2053135 A1
TITLE: AMLODIPINE FREE BASE

PUBN-DATE: July 11, 2002

INVENTOR-INFORMATION:

NAME	COUNTRY
PETERS, THEODORUS HENDRICUS ANT	NL
BENNEKER, FRANCISCUS BERNARDUS	NL
LEMMENS, JACOBUS MARIA	NL
KELTJENS, ROLF	NL

INT-CL (IPC): A61 K 9/20; A61 K 9/48; A61 K 31/44
EUR-CL (EPC): A61K031/44; C07D209/48

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw. D

☐ 9. Document ID: MX 2002008376 A1, EP 1287826 A1, CA 2399567 A1, JP 2003128653 A, US 20030119883 A1, BR 200203412 A, US 6680334 B2

L3: Entry 9 of 11 File: DWPI Feb 1, 2003

DERWENT-ACC-NO: 2003-302830
DERWENT-WEEK: 200412

TITLE: Crystalline form of amlodipine free base, useful for treating ischemic heart disease or hypertension

INVENTOR: BENTHAM, A C; PETTMAN, A J ; RUDDOCK, K S

PRIORITY-DATA: 2001GB-0020808 (August 28, 2001)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
MX 2002008376 A1	February 1, 2003		000	B01D009/00
EP 1287826 A1	March 5, 2003	E	011	A61K031/4422
CA 2399567 A1	February 28, 2003	E	000	C07D211/90

JP 2003128653 A	May 8, 2003	008	C07D211/90
US 20030119883 A1	June 26, 2003	000	C07D211/82
BR 200203412 A	May 27, 2003	000	C07D211/90
US 6680334 B2	January 20, 2004	000	C07D207/40

INT-CL (IPC): A61 K 31/44; A61 K 31/4418; A61 K 31/4422; A61 P 9/00; A61 P 9/10; A61 P 9/12; B01 D 9/00; C07 D 207/40; C07 D 211/82; C07 D 211/90

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 10. Document ID: FI 200200249 A, ZA 200201080 A

L3: Entry 10 of 11 File: DWPI Aug 8, 2003

DERWENT-ACC-NO: 2003-608502
DERWENT-WEEK: 200367
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TITLE: New crystalline amlodipine free base of form II, used to treat e.g. hypertension, is prepared by deprotecting N-protected amlodipine, precipitating free base from solution and isolating precipitate in solid form

INVENTOR: BENNEKER, F B G; KELTJENS, R ; LEMMENS, J M ; PETERS, T H A

PRIORITY-DATA: 2002ZA-0001080 (February 7, 2002), 2002FI-0000249 (February 7, 2002)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
FI 200200249 A	August 8, 2003		000	A61K000/00
ZA 200201080 A	November 27, 2002		035	A61K000/00

INT-CL (IPC): A61 K 0/00

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 11. Document ID: DE 20201878 U1

L3: Entry 11 of 11 File: DWPI Jul 11, 2002

DERWENT-ACC-NO: 2002-549968
DERWENT-WEEK: 200259
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TITLE: Tablet composition containing known and new forms of amlodipine base, useful for the treatment of e.g. hypertension, heart failure and angina

PRIORITY-DATA: 2002DE-2001878 (February 7, 2002)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
DE 20201878 U1	July 11, 2002		041	C07D491/12

INT-CL (IPC): C07 D 209/48; C07 D 211/82; C07 D 491/12

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

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Terms	Documents
(amlodipine adj2 base) same crystal$	11

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